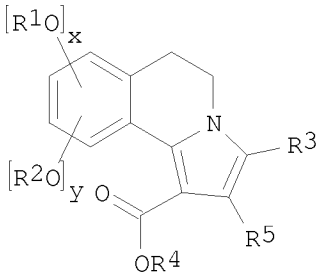
=> d l5 1-16, abs bib fhitstr

L5 ANSWER 1 OF 16 CAPLUS COPYRIGHT 2009 ACS on STN
GI



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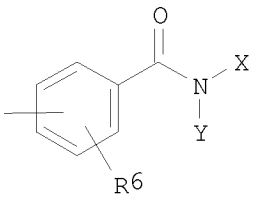
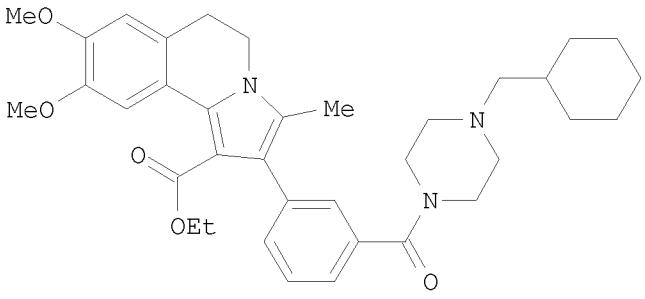


II



III

AB The title compds. [I; x, y = 0-1; R1, R2 = H, alkyl, CF3; or R1 and R2 together = alkylene; R3, R4 = alkyl, haloalkyl, perhaloalkyl; R5 = II; R6 = alkyl, CF3, OCF3, etc.; X, Y = H, alkoxy, cycloalkyl, etc.] which are inhibitors of phosphodiesterase 10a and can be used for com-batting cancer, were prepared and formulated. Thus, amidation of 3-[1-(ethoxycarbonyl)-8,9-dimethoxy-3-methyl-5,6-dihydropyrrolo[2,1-a]isoquinolin-2-yl]benzoic acid (preparation given) with 1-cyclohexylmethylpiperazine in the presence of 1-hydroxybenzotriazole, 4-methylmorpholine and 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide.HCl in CH2Cl2 afforded 60% III.HCl which showed IC50 of 410 nM against full-length recombinant PDE 10a.

AN 2003:491223 CAPLUS
DN 139:69161

TI Preparation of 2-substituted pyrrolo[2,1-a]isoquinolines as anticancer agents

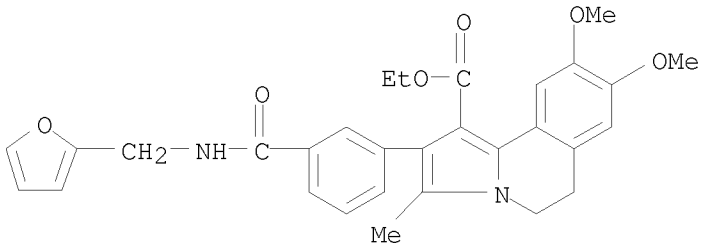
IN Niewoehner, Ulrich; Zhang, Chengzhi; Fan, Dongping; Wang, Yamin; Li, Tindy; Boyer, Stephen J.; Burke, Jennifer; Raudenbush, Brian C.; Wong, Wai C.; Ying, Shihong; Wang, Ming; Zhao, Qian; Carter, Christopher A.; Burkhardt, Nils; Pernerstorfer, Josef; Niewoehner, Maria
PA Bayer Corporation, USA; Bayer Aktiengesellschaft

SO PCT Int. Appl., 157 pp.

CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

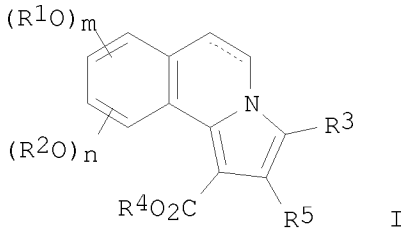
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| PI WO 2003051877 | A1 | 20030626 | WO 2002-US40328 | 20021218 <-- |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| AU 2002366362 | A1 | 20030630 | AU 2002-366362 | 20021218 <-- |
| PRAI US 2001-341367P | P | 20011218 | | |
| US 2001-342310P | P | 20011219 | | |
| WO 2002-US40328 | W | 20021218 | | |

OS MARPAT 139:69161
IT 550359-46-1P
RL: CPN (Combinatorial preparation); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); CMBI (Combinatorial study); PREP (Preparation); USES (Uses)
(preparation of 2-substituted pyrrolo[2,1-a]isoquinolines as anticancer agents)
RN 550359-46-1 CAPLUS
CN Pyrrolo[2,1-a]isoquinoline-1-carboxylic acid, 2-[3-[[(2-furanylmethyl)amino]carbonyl]phenyl]-5,6-dihydro-8,9-dimethoxy-3-methyl-, ethyl ester (CA INDEX NAME)




RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 2 OF 16 CAPLUS COPYRIGHT 2009 ACS on STN
GI



I

AB Title compds. [I; m, n = 0, 1; m+n = 1, 2; R1, R2 = H, alkyl, CF3; R1R2 = alkylene; R3 = H, CHO, alkylcarbonyl, alkoxycarbonyl, NO2, amino, alkylamino, (substituted) aralkyl, etc.; R4 = alkyl; R5 = (substituted) aryl, alkyl, cycloalkyl, heteroaryl; with a proviso], were prepared Thus, Et 2-(3-chlorophenyl)-8,9-dimethoxy-3-chloromethyl-5,6-dihydropyrrolo[2,1-a]isoquinoline-1-carboxylate in CH2Cl2 was treated dropwise with morpholine in CH2Cl2 followed by stirring overnight to give 49.2% Et 2-(3-chlorophenyl)-8,9-dimethoxy-3-morpholinoomethyl-5,6-dihydropyrrolo[2,1-a]isoquinoline-1-carboxylate. I inhibited PDE 10a with IC50 = 56-210 nM.

AN 2003:133270 CAPLUS

DN 138:187652

TI Preparation of pyrrolo[2,1-a]isoquinoline-1-carboxylates as phosphodiesterase 10a inhibitors for treatment of cancer.

IN Niewoehner, Ulrich; Bauser, Marcus; Ergueden, Jens-Kerim; Flubacher, Dietmar; Naab, Paul; Repp, Thorsten-Oliver; Stoltefuss, Juergen; Burkhardt, Nils; Sewing, Andrea; Schauer, Michael; Schlemmer, Karl-Heinz; Weber, Olaf; Boyer, Stephen J.; Miglarese, Mark; Ying, Shihong

PA Bayer Corporation, USA; Bayer Aktiengesellschaft; Niewoehner, Maria

SO PCT Int. Appl., 89 pp.
CODEN: PIXXD2

DT Patent

LA English

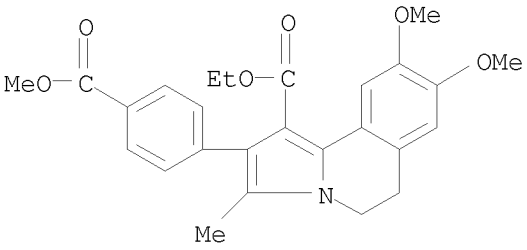
FAN.CNT 2

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | WO 2003014117 | A1 | 20030220 | WO 2002-US24877 | 20020805 <-- |
| | W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW | | | | |
| | RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| | AU 2002326524 | A1 | 20030224 | AU 2002-326524 | 20020805 <-- |
| PRAI | US 2001-310384P | P | 20010806 | | |
| | WO 2002-US24877 | W | 20020805 | | |

OS MARPAT 138:187652

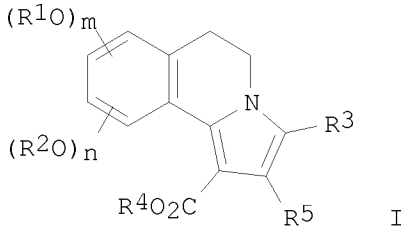
IT 1055066-42-6
RL: PRPH (Prophetic)
(Preparation of pyrrolo[2,1-a]isoquinoline-1-carboxylates as phosphodiesterase 10a inhibitors for treatment of cancer.)

RN 1055066-42-6 CAPLUS
CN Pyrrolo[2,1-a]isoquinoline-1-carboxylic acid, 5,6-dihydro-8,9-dimethoxy-2-[4-(methoxycarbonyl)phenyl]-3-methyl-, ethyl ester (CA INDEX NAME)




RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 3 OF 16 CAPLUS COPYRIGHT 2009 ACS on STN
GI



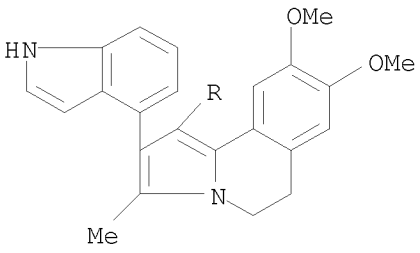

I

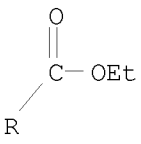
AB Title compds. [I; m, n = 0, 1; R1, R2 = H, alkyl, CF3; R3, R4 = alkyl; R5 = (substituted) cycloalkyl, heteroaryl, cycloalkaphenyl], were prepared Thus, Et (6,7-dimethoxy-3,4-dihydro-1(2H)-isoquinolinylidene)ethanoate (preparation given), indole-4-carboxaldehyde, EtNO2, and piperidine were stirred overnight in EtOH/Me2CHOH at 80° to give 63% Et 2-(1H-indol-4-yl)-8,9-dimethoxy-3-methyl-5,6-dihydropyrrolo[2,1-a]isoquinoline-1-carboxylate. I inhibited PDE 10a with IC50 = <30 to 1500 nM.
AN 2003:133269 CAPLUS
DN 138:187651
TI Preparation of pyrrolo[2,1-a]isoquinoline-1-carboxylates as phosphodiesterase 10a inhibitors.
IN Niewohner, Ulrich; Bauser, Marcus; Ergueden, Jens-Kerim; Flubacher, Dietmar; Naab, Paul; Repp, Thorsten-Oliver; Stoltefuss, Jurgen; Burkhardt, Nils; Sewing, Andrea; Schauer, Michael; Schlemmer, Karl-Heinz; Weber, Olaf; Boyer, Stephen J.; Miglarese, Mark; Fan, Jianmei; Phillips, Barton; Raudenbush, Brian C.; Wang, Yamin
PA Bayer Corporation, USA; Bayer Aktiengesellschaft; Niewohner, Maria
SO PCT Int. Appl., 92 pp.

CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| PI WO 2003014116 | A1 | 20030220 | WO 2002-US24874 | 20020805 <-- |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| AU 2002330997 | A1 | 20030224 | AU 2002-330997 | 20020805 <-- |
| US 20030236276 | A1 | 20031225 | US 2002-213290 | 20020805 <-- |
| PRAI US 2001-310358P | P | 20010806 | | |
| WO 2002-US24874 | W | 20020805 | | |

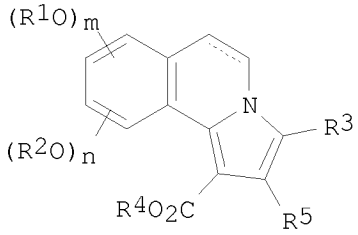
OS MARPAT 138:187651
IT 497961-53-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(claimed compound; preparation of pyrroloisoquinolinecarboxylates as phosphodiesterase 10a inhibitors)
RN 497961-53-2 CAPLUS
CN Pyrrolo[2,1-a]isoquinoline-1-carboxylic acid, 5,6-dihydro-2-(1H-indol-4-yl)-8,9-dimethoxy-3-methyl-, ethyl ester (CA INDEX NAME)




RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 4 OF 16 CAPLUS COPYRIGHT 2009 ACS on STN
GI



I

AB Title compds. [I; m, n = 0, 1; m+n = 1, 2; R1, R2 = H alkyl, CF3; R1R2 = alkylene; R3 = H CHO, alkylcarbonyl, alkoxycarbonyl, NO2, amino, alkylamino, hydroxyalkyl, alkoxyalkyl,(substituted) aralkyl, etc.; R4 = alkyl; R5 = (substituted) aryl, alkyl, cycloalkyl, heteroaryl; dotted line = optional double bond; with provisos], were prepared Thus, Et 2-(3-chlorophenyl)-8,9-methoxy-3-chloromethyl-5,6-dihydropyrrolo[2,1-a]isoquinoline-1-carboxylate was stirred overnight with morpholine in CH2Cl2 to give 49.2% Et 2-(3-chlorophenyl)-8,9-methoxy-3-morpholinomethyl-5,6-dihydropyrrolo[2,1-a]isoquinoline-1-carboxylate. Tested I inhibited PDE 10a with IC50 = 54-81 nM.

AN 2003:133268 CAPLUS

DN 138:187650

TI Preparation of pyrrolo[2,1-a]isoquinolinecarboxylates as phosphodiesterase 10a inhibitors for treating cancer.

IN Niewoehner, Ulrich; Bauser, Marcus; Ergueden, Jens-Kerim; Flubacher, Dietmar; Naab, Paul; Repp, Thorsten-Oliver; Stoltefuss, Juergen; Burkhardt, Nils; Sewing, Andrea; Schauer, Michael; Weber, Olaf; Schlemmer, Karl-Heinz; Boyer, J. Stephen; Miglarese, Mark

PA Bayer Aktiengesellschaft, Germany

SO PCT Int. Appl., 76 pp.
CODEN: PIXXD2

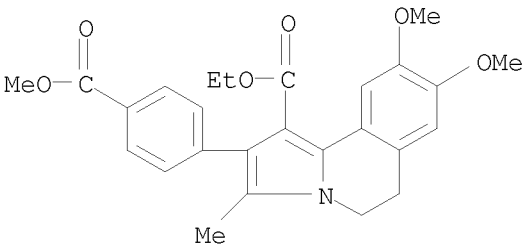
DT Patent

LA English

FAN.CNT 2

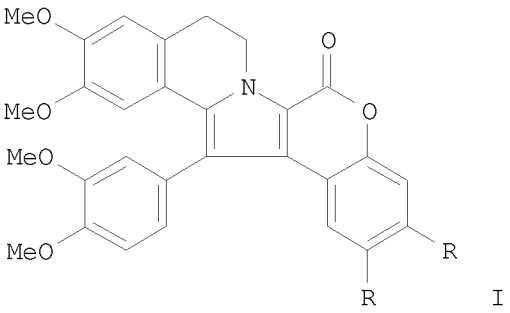
| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | WO 2003014115 | A1 | 20030220 | WO 2002-EP8341 | 20020726 <-- |
| | W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW | | | | |
| | RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| | AU 2002355340 | A1 | 20030224 | AU 2002-355340 | 20020726 <-- |
| PRAI | US 2001-310384P | P | 20010806 | | |

WO 2002-EP8341 W 20020726
OS MARPAT 138:187650
IT 1055066-42-6
RL: PRPH (Prophetic)
(Preparation of pyrrolo[2,1-a]isoquinolinecarboxylates as phosphodiesterase 10a inhibitors for treating cancer.)
RN 1055066-42-6 CAPLUS
CN Pyrrolo[2,1-a]isoquinoline-1-carboxylic acid, 5,6-dihydro-8,9-dimethoxy-2-[4-(methoxycarbonyl)phenyl]-3-methyl-, ethyl ester (CA INDEX NAME)



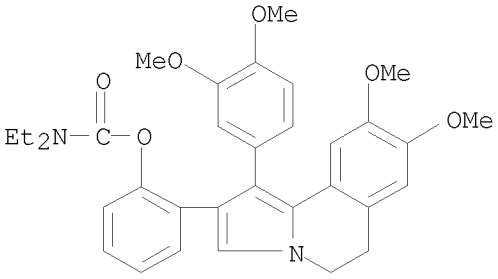

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 5 OF 16 CAPLUS COPYRIGHT 2009 ACS on STN
GI



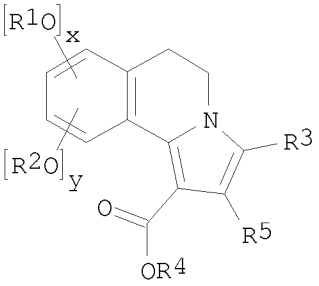

AB Direct metal-halogen exchange of 2-bromopyrrole carbonate derivs. with tert-butyllithium followed by the intramol. lactonization of the resulting 2-pyrrole anion onto the carbonate provided the corresponding lamellarins I (R = H; R = OMe) in moderate to good yield. The lamellarin framework could be obtained from the direct metal-halogen exchange strategy in a 26-33% overall yield over 5-6 steps.
AN 2003:91107 CAPLUS
DN 138:354129
TI Further developments in the synthesis of lamellarin alkaloids via direct metal-halogen exchange

AU Ploypradith, Poonsakdi; Jinaglueng, Wiyada; Pavaro, Chitkavee; Ruchirawat, Somsak
CS Chulabhorn Research Institute, Bangkok, 10210, Thailand
SO Tetrahedron Letters (2003), 44(7), 1363-1366
CODEN: TELEAY; ISSN: 0040-4039
PB Elsevier Science Ltd.
DT Journal
LA English
OS CASREACT 138:354129
IT 519753-08-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis of lamellarin alkaloids via direct metal-halogen exchange)
RN 519753-08-3 CAPLUS
CN Carbamic acid, diethyl-, 2-[1-(3,4-dimethoxyphenyl)-5,6-dihydro-8,9-dimethoxypyrrolo[2,1-a]isoquinolin-2-yl]phenyl ester (9CI) (CA INDEX NAME)

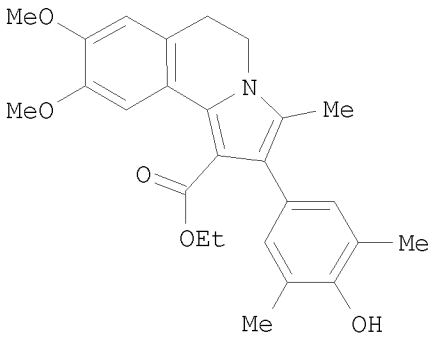



RE.CNT 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 6 OF 16 CAPLUS COPYRIGHT 2009 ACS on STN
GI




I




II

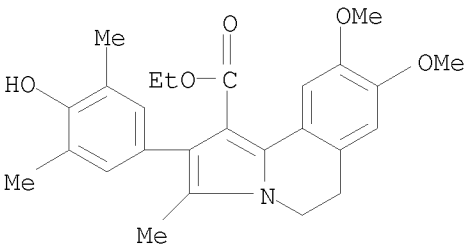
AB The title compds. [I; x, y = 0-1 with the proviso that x + y = 1 or 2; R1,

R2 = H, alkyl, CF3; or R1 and R2 together = alkylene bridge; R3, R4 = alkyl; R5 = (un)substituted aryl] which are inhibitors of phosphodiesterase 10a and can be used for combating cancer, were prepared Thus, reacting Et (6,7-dimethoxy-3,4-dihydro-1(2H)-isoquinolinylidene)ethanoate (preparation given) with 3,5-dimethyl-4-hydroxybenzaldehyde, nitroethane and piperidine in EtOH/iso-PrOH afforded II which showed IC50 of 30 nM against PDE 10a.

AN 2002:466004 CAPLUS
DN 137:47106
TI Preparation of pyrrolo[2,1-a]isoquinolines as phosphodiesterase 10a inhibitors
IN Niewoehner, Ulrich; Bauser, Marcus; Ergueden, Jens-Kerim; Flubacher, Dietmar; Naab, Paul; Repp, Thorsten-Oliver; Stoltefuss, Juergen; Burkhardt, Nils; Sewing, Andrea; Schauer, Michael; Schlemmer, Karl-Heinz; Weber, Olaf; Boyer, Stephen J.; Miglarese, Mark
PA Bayer Aktiengesellschaft, Germany
SO PCT Int. Appl., 88 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

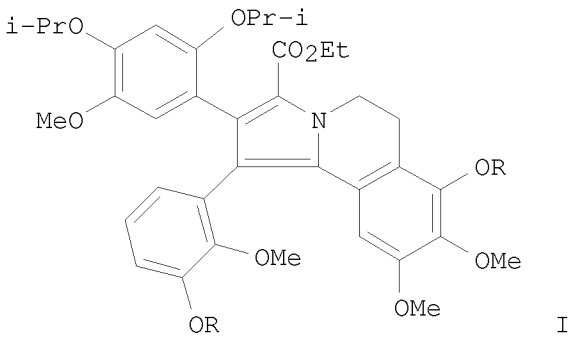
| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | WO 2002048144 | A1 | 20020620 | WO 2001-EP14187 | 20011204 <-- |
| | W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW | | | | |
| | RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| | CA 2431326 | A1 | 20020620 | CA 2001-2431326 | 20011204 <-- |
| | AU 2002027985 | A | 20020624 | AU 2002-27985 | 20011204 <-- |
| | EP 1347973 | A1 | 20031001 | EP 2001-989571 | 20011204 <-- |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| | JP 2004517843 | T | 20040617 | JP 2002-549675 | 20011204 |
| | US 20040138249 | A1 | 20040715 | US 2004-451707 | 20040209 |
| | US 6930114 | B2 | 20050816 | | |
| PRAI | US 2000-255206P | P | 20001213 | | |
| | US 2001-310312P | P | 20010806 | | |
| | WO 2001-EP14187 | W | 20011204 | | |

OS MARPAT 137:47106
IT 438037-96-8P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of pyrrolo[2,1-a]isoquinolines as phosphodiesterase 10a inhibitors)
RN 438037-96-8 CAPLUS
CN Pyrrolo[2,1-a]isoquinoline-1-carboxylic acid, 5,6-dihydro-2-(4-hydroxy-3,5-dimethylphenyl)-8,9-dimethoxy-3-methyl-, ethyl ester (CA INDEX NAME)




RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

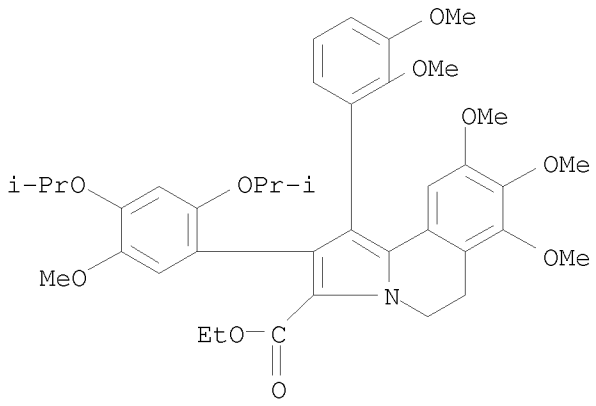
L5 ANSWER 7 OF 16 CAPLUS COPYRIGHT 2009 ACS on STN
GI




I

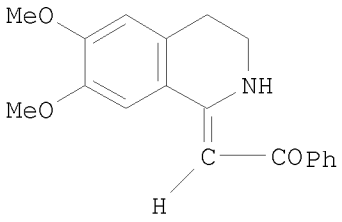
AB Lamellarins I and K were obtained by a new approach based on the 1,3-dipolar cycloaddn. of a nitrone to an alkyne. The key cycloaddn. yields an isoxazoline which rearranges to afford the central pyrrole ring in I (R = Me, iPr).
AN 2001:508664 CAPLUS
DN 135:273102
TI Syntheses of lamellarins I and K by [3+2] cycloaddition of a nitrone to an alkyne
AU Diaz, Maite; Guitian, Enrique; Castedo, Luis
CS Departamento de Quimica Organica y Unidad Asociada al CSIC, Universidad de Santiago, Santiago de Compostela, 15706, Spain
SO Synlett (2001), (7), 1164-1166
CODEN: SYNLES; ISSN: 0936-5214
PB Georg Thieme Verlag
DT Journal
LA English
OS CASREACT 135:273102
IT 363134-19-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(syntheses of lamellarins I and K by [3+2] cycloaddn. of a nitrone to alkyne)
RN 363134-19-4 CAPLUS
CN Pyrrolo[2,1-a]isoquinoline-3-carboxylic acid, 1-(2,3-dimethoxyphenyl)-5,6-dihydro-7,8,9-trimethoxy-2-[5-methoxy-2,4-bis(1-methylethoxy)phenyl]-, ethyl ester (CA INDEX NAME)

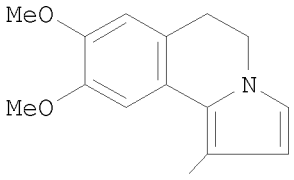



RE.CNT 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 8 OF 16 CAPLUS COPYRIGHT 2009 ACS on STN
GI



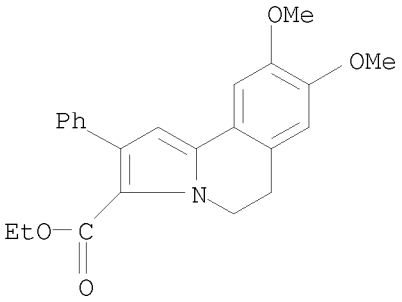

I




II

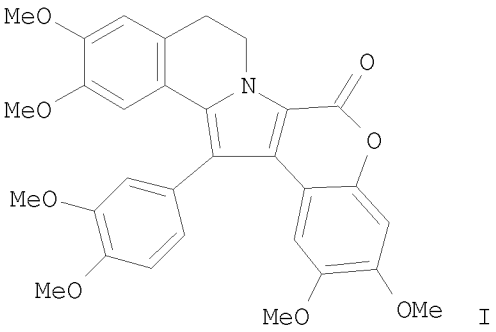
AB Annulation reactions of enaminones with various one- and two-carbon electrophilic synthons has yielded direct one-pot novel convergent routes to a variety of functionalized pyrrolo[2,1-a]isoquinolines and indolo[2,1-a]isoquinolines. E.g., reaction of enaminone I with BrCH2CH(OEt)2 gave pyrrolo[2,1-a]isoquinoline II.
AN 2001:364902 CAPLUS
DN 135:107232
TI Ring Annulation with Tetrahydroisoquinoline-Derived Enaminones: Highly Convergent Routes to Functionalized Pyrrolo[2,1-a]- and Indolo[2,1-a]isoquinolines
AU Barun, Okram; Chakrabarti, Sriparna; Ila, H.; Junjappa, H.
CS Department of Chemistry, Indian Institute of Technology, Kanpur, 208 016,

India
SO Journal of Organic Chemistry (2001), 66(12), 4457-4461
CODEN: JOCEAH; ISSN: 0022-3263
PB American Chemical Society
DT Journal
LA English
OS CASREACT 135:107232
IT 349649-21-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(ring annulation with tetrahydroisoquinoline-derived enaminones)
RN 349649-21-4 CAPLUS
CN Pyrrolo[2,1-a]isoquinoline-3-carboxylic acid,
5,6-dihydro-8,9-dimethoxy-2-phenyl-, ethyl ester (CA INDEX NAME)




RE.CNT 62 THERE ARE 62 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

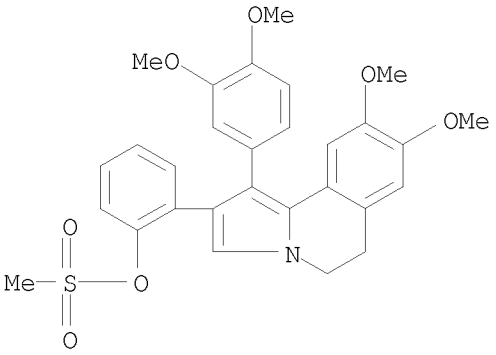
L5 ANSWER 9 OF 16 CAPLUS COPYRIGHT 2009 ACS on STN
GI




I

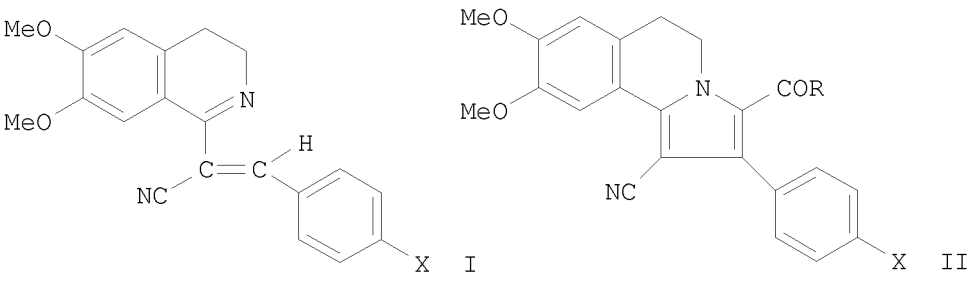
AB A general and efficient synthesis of lamellarin G tri-Me ether (I) is described. The synthesis involves the formation of the core pyrrolo[2,1-a]isoquinoline, followed by the formation of the lactone ring.
AN 2001:102291 CAPLUS

DN 134:280998
TI An efficient synthesis of lamellarin alkaloids: synthesis of lamellarin G trimethyl ether
AU Ruchirawat, S.; Mutarapat, T.
CS Chulabhorn Research Institute, Bangkok, 10210, Thailand
SO Tetrahedron Letters (2001), 42(6), 1205-1208
CODEN: TELEAY; ISSN: 0040-4039
PB Elsevier Science Ltd.
DT Journal
LA English
OS CASREACT 134:280998
IT 332841-51-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis of lamellarin G tri-Me ether)
RN 332841-51-7 CAPLUS
CN Phenol, 2-[1-(3,4-dimethoxyphenyl)-5,6-dihydro-8,9-dimethoxypyrrolo[2,1-a]isoquinolin-2-yl]-, 1-methanesulfonate (CA INDEX NAME)

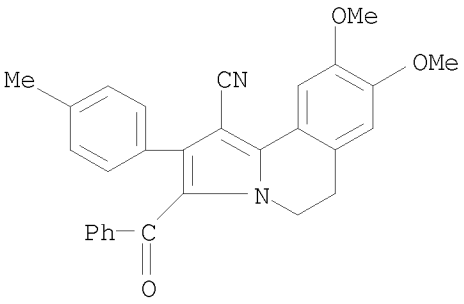



RE.CNT 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

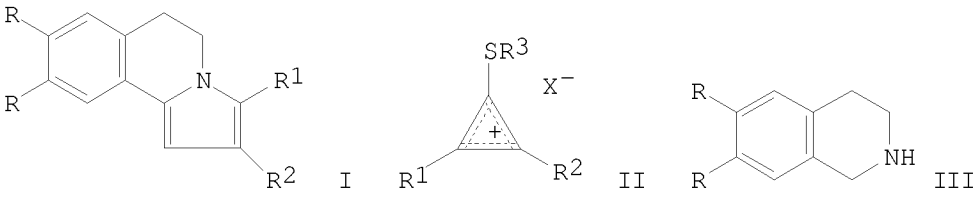
L5 ANSWER 10 OF 16 CAPLUS COPYRIGHT 2009 ACS on STN
GI



AB Reactions of hydrazonoyl chlorides RCOCl:NNHC6H4X-4 (R = Ph, Me, EtO; X = Me, Cl, H) with 2-(3,4-dihydro-6,7-dimethoxyisoquinolin-1-yl)cinnamonitriles I (X = Me, Cl) in benzene in the presence of triethylamine afforded 5,6-dihydropyrrolo[2,1-a]isoquinolines II.
AN 1996:514061 CAPLUS
DN 125:247578
OREF 125:46273a,46276a
TI A convenient synthesis of 5,6-dihydropyrrolo[2,1-a]isoquinolines
AU Algharib, Mohamned Sami
CS Fac. Eng., Suez Canal Univ., Port Said, Egypt
SO Journal of Chemical Research, Synopses (1996), (8), 384-385
CODEN: JRPSDC; ISSN: 0308-2342
PB Royal Society of Chemistry
DT Journal
LA English
IT 182139-52-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of pyrroloisoquinolines)
RN 182139-52-2 CAPLUS
CN Pyrrolo[2,1-a]isoquinoline-1-carbonitrile, 3-benzoyl-5,6-dihydro-8,9-dimethoxy-2-(4-methylphenyl)- (CA INDEX NAME)




L5 ANSWER 11 OF 16 CAPLUS COPYRIGHT 2009 ACS on STN
GI

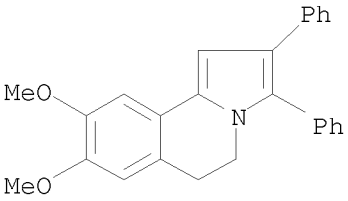



AB Hypotensive (no data) title compds. I (R, R1, R2 = H, Me3CS, Me3CS; MeO, Me3CS, Me3CS; MeO, MeS, MeS; MeO, Ph, Ph; MeO, EtPhN, Me3CS; MeO, MeS, Me3CS) were prepared by reaction of II (R3 = alkyl, X = anions) with III. Thus, stirring II (R1 = R2 = Me3CS, R3 = Me3C, X = ClO4-) with III (R = H) in DMF 3 h at room temperature gave 46.4% I (R = H, R1 = R2 = Me3CS).

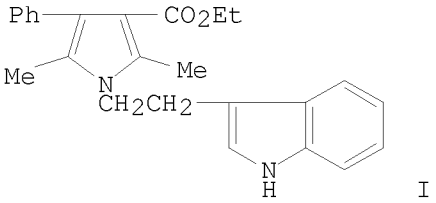
AN 1983:143286 CAPLUS
DN 98:143286
OREF 98:21825a,21828a
TI Dihydropyrrolo[2,1-a]isoquinoline derivatives
PA Mitsubishi Chemical Industries Co., Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 3 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| JP 57146773 | A | 19820910 | JP 1981-33451 | 19810309 <-- |

PRAI JP 1981-33451 19810309
IT 85149-45-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 85149-45-7 CAPLUS
CN Pyrrolo[2,1-a]isoquinoline, 5,6-dihydro-8,9-dimethoxy-2,3-diphenyl- (CA INDEX NAME)



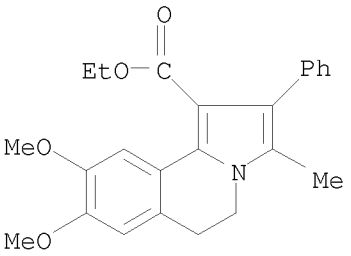

L5 ANSWER 12 OF 16 CAPLUS COPYRIGHT 2009 ACS on STN
GI




I

AB Pyrrole derivs. were obtained by condensing PhCH:CMeNO2 with a variety of enamines. 1-Nitrocyclohexene gave an analogous product. The pyrrole I and some other compds. were obtained by multi-component synthesis, e.g., from tryptamine, PhCHO, EtNO2, and MeCOCH2CO2Et.
AN 1981:603671 CAPLUS
DN 95:203671
OREF 95:34025a,34028a
TI Heterocyclics from nitroalkenes. I. Pyrroles via cyclizing Michael addition of enamines
AU Meyer, Horst

CS Chem.-Wiss. Lab. Pharma, Bayer A.-G., Wuppertal, D-5600/1, Fed. Rep. Ger.
SO Liebigs Annalen der Chemie (1981), (9), 1534-44
CODEN: LACHDL; ISSN: 0170-2041
DT Journal
LA German
OS CASREACT 95:203671
IT 79823-24-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 79823-24-8 CAPLUS
CN Pyrrolo[2,1-a]isoquinoline-1-carboxylic acid,
5,6-dihydro-8,9-dimethoxy-3-methyl-2-phenyl-, ethyl ester (CA INDEX NAME)



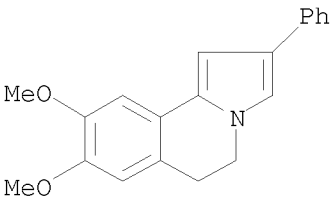

L5 ANSWER 13 OF 16 CAPLUS COPYRIGHT 2009 ACS on STN
GI For diagram(s), see printed CA Issue.
AB The title compds. (I) (R = H, CO2H, or CO2R; R1 = H, CO2H, or CH2CO2H acids, esters, or amides, or alkyl, cycloalkyl or aryl; and R2 = H, CO2H, or CO2R), are hypotensive, sympathicolytic, and psychotropic agents. They are synthesized by the reaction of a 6,7-dimethoxy-3,4-dihydroisoquinoline (II) with a 2-Cl or [-Br ketone. Thus, a mixture of 50 g. 1-Me derivative of II, 39 g. Et chloropyruvate, and 42 g. NaHCO3 in 500 ml. of EtOH was stirred at 35° 5 hrs., and the mixture diluted with 1.5 l. H2O, filtered], and washed with H2O to give I (R = R1 = H, R2 = CO2Et) (III), m. 111-13° (EtOH-ligroine). Other I similarly prepared were: (R, R1, R2, and m.p. given): H, CH2CO2Et H, (IV), 91-3°; CO2Et, Ph, H (V), 172-4°; H, CO2Et, CO2Et (VI), 91-3°; H, Ph, H (VII), 136-40°; H, cyclohexyl, H (VIII), 122-4°. A solution of 10 ml. 13% NaOEt in EtOH was added to a solution of 48 g. V and 20 g. Me2NC2H4OH in 600 ml. PhMe, the mixture refluxed 6 hrs. (removing EtOH as an azeotrope), cooled, washed with H2O, and extracted with HOAc, the extract made alkaline with NH3
and extracted with CHCl3, and the CHCl3 evaporated to give I (R = CO2C2H4NMe2, R1
= Ph, R2 = H), m. 137-9° (EtOH). Similarly prepared I were (R, R1, R2, and m.p. given); H, Me, CO2C2H4NMe2, 98-9° (HCl salt m. 252-5°); H, Me, CO2(CH2)3Q (Q = piperidino), 102-4°; H, H, CONHC2H4NEt2, 146-8°. Hydrolysis of the Et esters with boiling alc. NaOH gave the corresponding acids (I ester hydrolyzed and m.p. of acid given): III, 232-4° (decomposition); IV, 159-60°; V, 219-11° (decomposition); VI, 229-30° (anhydride IX m. 239-40°). Treatment of IX with Et2NC2H4NH2 gave I (R = H, R1 = Et2NC2H4NHCO, and R2 = CO2H), m. 168-70°. A solution of 20 g. VII in 1.6 ml. HOAc was reduced with 3-20 atmospheric of H using 3 g. Pt oxide 25-30

hrs. at ambient temperature to give 2-phenyl-1,2,3,5,6,10b-hexahydro-8,9-dimethoxypyrrolo[2,1-a]isoquinoline, m. 121-3°. Reduction of VII with Raney Ni at 100° in EtOH at 130 atmospheric gave a mixture of VIII and I (R = H, R1 = cyclohexyl, R2 = H), m. 122-4°, and 2-cyclohexyl-1,2,3,5,6,10b-hexahydro-8,9-dimethoxypyrrolo-[2,1-a]isoquinoline, m. 91-2°; sulfate m. 170-1°; HBr3 salt m. 146-8°.

AN 1969:481215 CAPLUS
DN 71:81215
OREF 71:15049a,15052a
TI Hypotensive pyrrolo [2,1-a] isoquinoline
IN Ferrari, Giorgio; Casagrande, Cesare
PA SIPHAR S. A.
SO Brit., 8 pp.
CODEN: BRXXAA
DT Patent
LA English
FAN.CNT 1

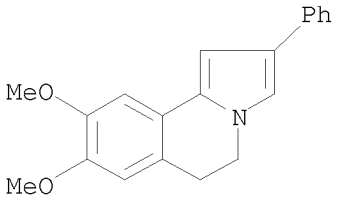
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| PI GB 1153670 | | 19690529 | GB 1967-55371 | 19671205 <-- |
| FR 1555788 | | | FR | |
| FR 7348 | | | FR | |
| PRAI BE | | 19661207 | | |

IT 10174-48-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 10174-48-8 CAPLUS
CN Pyrrolo[2,1-a]isoquinoline, 5,6-dihydro-8,9-dimethoxy-2-phenyl- (CA INDEX NAME)

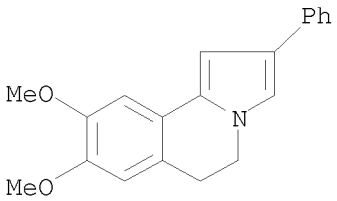



L5 ANSWER 14 OF 16 CAPLUS COPYRIGHT 2009 ACS on STN
AB A series of compds. with the pyrrolo[2,1-a]isoquinoline ring system was synthesized by Tschitschibabin cyclization and subsequent transformations. The pharmacol. activity of the new compds., was studied.
AN 1968:427223 CAPLUS
DN 69:27223
OREF 69:5063a,5066a
TI Synthesis and pharmacological evaluation of some pyrrolo[2,1-α]isoquinolines
AU Casagrande, Cesare; Invernizzi, Ambrogio; Ferrini, Rosano; Ferrari, Giorgio G.
CS Res. Lab., Simes S.p.A., Milan, Italy
SO Journal of Medicinal Chemistry (1968), 11, 765-70
CODEN: JMCMAR; ISSN: 0022-2623

DT Journal
LA English
OS CASREACT 69:27223
IT 10174-48-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 10174-48-8 CAPLUS
CN Pyrrolo[2,1-a]isoquinoline, 5,6-dihydro-8,9-dimethoxy-2-phenyl- (CA INDEX NAME)




L5 ANSWER 15 OF 16 CAPLUS COPYRIGHT 2009 ACS on STN
AB cf. CA 65, 8979b. Aryl-1-isoquinolylmethyl benzoates prepared from 2-benzoyl-1,2- dihydroisoquinaldonitrile were hydrolyzed to aryl-1-isoquinolylmethanols. These alcs. were oxidized to the corresponding ketones and reduced to the corresponding 1-benzylisoquinolines.
AN 1966:499250 CAPLUS
DN 65:99250
OREF 65:18559a-b
TI Reissert compound studies. XIII. Model reactions based on 2-benzoyl-1,2-dihydroisoquinaldonitrile
AU Gibson, H. W.; Popp, F. D.
CS Clarkson Coll. of Technol., Potsdam, NY
SO Journal of the Chemical Society [Section] C: Organic (1966), (20), 1860-4
CODEN: JSOOAX; ISSN: 0022-4952
DT Journal
LA English
IT 10174-48-8
(Derived from data in the 7th Collective Formula Index (1962-1966))
RN 10174-48-8 CAPLUS
CN Pyrrolo[2,1-a]isoquinoline, 5,6-dihydro-8,9-dimethoxy-2-phenyl- (CA INDEX NAME)



L5 ANSWER 16 OF 16 CAPLUS COPYRIGHT 2009 ACS on STN
GI For diagram(s), see printed CA Issue.
AB Preparation of I was reported. Thus, into an ice-cooled solution of 3 g. 1-methyl-3,4-dihydroisoquinoline in 10 ml. C6H6 is added 2.8 g. bromoacetone, the mixture kept in a refrigerator overnight, C6H6 is removed, the residue washed with Et2O, warmed 5 hrs. at 50° with 40 ml. 5% Na2CO3 solution, and extracted with Et2O to give 352 mg. I (R1 = Me, R2 = H), m. 23-5°. Similarly prepared are the following I (R1, R2, m.p., and % yield given): Ph, H, 114° 36; Ph, OMe, 138° 47; (CH2)2CO2Me, H, 80° 30; (CH2)2CO2Me, OMe, 109° 68. Also prepared are 5,6-dihydropyrrolo[2,1-a]-β-carboline, m. 196°, and 2-methyl-3-ethoxycarbonyl-5,6-dihydropyrrolo[2, 1-a]-β-carboline, m. 244° (decomposition).
AN 1966:499249 CAPLUS
DN 65:99249
OREF 65:18558g-h,18559a
TI Synthesis of 5,6-dihydropyrrolo[2,1-a]isoquinolines
AU Sakai, Shinichiro; Kubo, Akinori; Inaba, Minoru; Katagiri, Michiko; Tanno, Kayoko
CS Univ. Chiba, Japan
SO Yakugaku Zasshi (1966), 86(9), 856-8
CODEN: YKKZAJ; ISSN: 0031-6903
DT Journal
LA Japanese
IT 10174-48-8P, Pyrrolo[2,1-a]isoquinoline, 5,6-dihydro-8,9-dimethoxy-2-phenyl-
RL: PREP (Preparation)
(preparation of)
RN 10174-48-8 CAPLUS
CN Pyrrolo[2,1-a]isoquinoline, 5,6-dihydro-8,9-dimethoxy-2-phenyl- (CA INDEX NAME)